Serial No.: 10/089,571 Filed: March 29, 2002

Page : 3 of 13

Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof:

$$O = \bigvee_{\substack{N \\ N \\ H}} \bigvee_{N} \bigvee_{N} S - R^{1}$$
(I)

in which

 R^1 represents a C_3 - C_7 carbocyclic, C_1 - C_8 alkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl group, each of the groups being optionally substituted by one or more substituent groups independently selected from halogen atoms, $-OR^4$, $-NR^5R^6$, $-CONR^5R^6$, $-COOR^7$,

-NR 8 COR 9 , -SR 10 , -SO $_2$ R 10 , -SO $_2$ NR 5 R 6 , -NR 8 SO $_2$ R 9 or an aryl or heteroaryl group, both of which may be optionally substituted by one or more substituents independently selected from halogen atoms, cyano, nitro, -OR 4 , -NR 5 R 6 , -CONR 5 R 6 , -COOR 7 , -NR 8 COR 9 , -SR 10 , -SO $_2$ R 10 , -SO $_2$ NR 5 R 6 , -NR 8 SO $_2$ R 9 , C $_1$ -C $_6$ alkyl or trifluoromethyl groups;

 R^2 and R^3 each independently represent a hydrogen atom, or a C_3 - C_7 carbocyclic, C_1 - C_8 alkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl group, the latter four groups may be optionally substituted by one or more substituent groups independently selected from:

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 4 of 13

(a) halogen atoms, $-OR^4$, $-NR^5R^6$ $-CONR^5R^6$, $-COOR^7$, $-NR^8COR^9$, $-SR^{10}$, $-SO_2R^{10}$, $-SO_2NR^5R^6$, $-NR^8SO_2R^9$

- (b) a 3-8 membered ring optionally containing one or more atoms selected from O, S, NR^8 and itself optionally substituted by C_1 - C_3 -alkyl or halogen,
- (c) an aryl group or heteroaryl group each of which may be optionally substituted by one or more substituents independently selected from halogen atoms, cyano, nitro, $-OR^4$, $-NR^5R^6$, $-CONR^5R^6$, $-NR^8COR^9$, $-SO_2NR^5R^6$, $-NR^8SO_2R^9$, $-C_1-C_6$ alkyl and trifluoromethyl groups;

 R^4 represents hydrogen, C_1 - C_6 alkyl or a phenyl group the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, $-OR^{11}$ and $-NR^{12}R^{13}$

 R^5 and R^6 independently represent a hydrogen atom or a C_1 - C_6 alkyl or phenyl group the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, -OR¹⁴ and -NR¹⁵R¹⁶, -CONR¹⁵R¹⁶, -NR¹⁵COR¹⁶, -SONR¹⁵R¹⁶, NR¹⁵SO₂R¹⁶

or

R⁵ and R⁶ together with the nitrogen atom to which they are attached form a 4- to 7-membered saturated heterocyclic ring system optionally containing a further heteroatom selected from oxygen and nitrogen atoms, which ring system may be optionally substituted by one or more substituent groups independently selected from phenyl, -OR¹⁴, -COOR¹⁴, -NR¹⁵R¹⁶, -CONR¹⁵R¹⁶, -NR¹⁵COR¹⁶, -SONR¹⁵R¹⁶, NR¹⁵SO₂R¹⁶ or C₁-C₆ alkyl, itself optionally substituted by one or more substituents independently selected from halogen atoms and – NR¹⁵R¹⁶ and -OR¹⁷ groups;

 R^{10} represents a hydrogen atom or a C_1 - C_6 -alkyl or a phenyl group, the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, $-OR^{17}$ and $-NR^{15}R^{16}$; and

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 5 of 13

each of R^7 , R^8 , R^9 , R^{11} , R^{12} , R^{13} , R^{14} R^{15} , R^{16} , R^{17} independently represents a hydrogen atom or a C_1 - C_6 , alkyl, or a phenyl group.

- 2. (Original) A compound according to claim 1, wherein R¹ represents an optionally substituted benzyl group.
- 3. (Previously presented) A compound according to claim 1 wherein one of R^2 and R^3 is hydrogen and the other is C_1 - C_8 alkyl substituted by hydroxy.
- 4. (Currently Amended) A compound according to claim 1 selected from:
- 7-[(2-Hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,
- (R)-7-[[1-(Hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,
- (R)-7-[(2-Hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,
- 5-[[(2,3-Difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino] thiazolo[4,5-d]pyrimidin-2(3*H*)-one,
- 5-[[(2,3-Difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,
- 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(hydroxyethoxy)ethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,
- 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,
- 7-[(2-aminoethyl)amino]-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 6 of 13

5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxyethyl)amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-*d*]pyrimidin-7-yl]amino]ethyl]methanesulfonamide,

(+/-)-5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[(1R)-2-amino-1-methylethyl]amino]-5-[(2,3-difluorophenyl)methyl]thio] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1*R*)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1*R*)-2-(dimethylamino)-1-methylethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[[4-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[3-Chloro-4-methoxyphenyl)methyl]thio]-7-[[(1*R*)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[3-Chloro-2-fluorophenyl)methyl]thio]-7-[[(1*R*)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[(2,3-Difluorophenyl)methyl]thio]-7-[[(3R,4R)-4-hydroxypyrrolidin-3-yl]amino]-thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(2,3-Difluorophenyl)methyl]thio]-7-[(3R)-pyrrolidin-3-ylamino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[[(1*R*)-2-Hydroxy-1-methylethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio] thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

7-[[2-Hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl] thio]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

7-[(2-Hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio] thiazolo[4,5-d]pyrimidin-2(3*H*)-one,

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 7 of 13

7-[(2-Hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methylphenyl)methyl)thio] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[(2-Furanylmethyl)thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[[(1*R*)-2-Amino-1-methylethyl]amino]-5-[[(3-chloro-2-fluorophenyl)methyl]thio] thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one

(2S)-2-[[5-[[(2,3-Difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-propanamide,

7-[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-thienylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5[[[3-methyl-4-

(methylsulfonyl)phenyl]methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[[3-chloro-4-(trifluoromethoxy)phenyl]methyl]thio]-7-[[(1*R*)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(2,3-difluorophenyl)methyl]thio]-7-[2-[(dimethylamino)ethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[(2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-methoxyphenyl)methyl]thio] thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[[(1*R*)-2-hydroxy-1-methylethyl]amino]-5-[[(3-methylphenyl)methyl]thio] thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

5-[[(2-fluoro-3-methylphenyl)methyl]thio]-7-[[(1*R*)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 8 of 13

5-[[(3-chlorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(3-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[[4-(difluoromethoxy)phenyl]methyl]thio]-7-[[(1*R*)-2-hydroxy-1-

methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

(+/-)-5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-

(methoxymethyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(2-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[(2,3-Difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[3-Chloro-2-fluorophenyl]] methyl]thio]-7-[[(1R)-2-hydroxy-1-methyl] amino] thiazolo[4,5-d]pyrimidin-2(3H)-one,

(+/-)-5-[[(2,3-difluor ophenyl) methyl] thio]-7-[[2-hydroxy-1-hy

(methoxymethyl) ethyl] amino] thiazolo [4,5-d] pyrimidin-2 (3H)-one,

7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one,

7-[(1R)-2-Hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-<math>d]pyrimidin-2(3H)-one,

5-[(5-chloro-1,2,3-thiadiazol-4-yl)thio]-7-[[(1*R*)-2-hydroxy-1-methylethyl]amino]-thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one,

and their pharmaceutically acceptable salts and solvates.

5. (Original) A compound according to claim 1 selected from:

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 9 of 13

5-[[(2,3-Difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino] thiazolo[4,5-d]pyrimidin-2(3H)-one sodium salt,

5-[[3-Chloro-2-fluorophenyl]] methyl]thio]-7-[[(1R)-2-hydroxy-1-methyl] amino] thiazolo[4,5-d]pyrimidin-2(3H)-one sodium salt,

(+/-)-5-[[(2,3-difluor ophenyl) methyl] thio]-7-[[2-hydroxy-1-hy

(methoxymethyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one sodium salt,

7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one sodium salt, or

7-[[(1R)-2-Hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one sodium salt.

- 6. (Original) A compound according to claim 1 selected from:
- 7-[(1R)-2-amino-1-methylethyl]amino]-5-[(2,3-difluorophenyl)methyl]thio] thiazolo[4,5-d]pyrimidin-2(3H)-one trifluoroacetate,
- 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1*R*)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one trifluoroacetate,
- 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1*R*)-2-(dimethylamino)-1-

methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one,

5-[[[4-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-

methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one trifluoroacetate,

5-[[(2,3-difluorophenyl)methyl]thio]-7-[2-[(dimethylamino)ethyl]amino]thiazolo[4,5-

d]pyrimidin-2(3H)-one monohydrochloride, or

- 5-[[(2,3-Difluorophenyl)methyl]thio]-7-[(3*R*)-pyrrolidin-3-ylamino]thiazolo[4,5-*d*]pyrimidin-2(3*H*)-one dihydrochloride.
- 7. (Original) A process for the preparation of a compound of formula (I) as defined in claim 1 which comprises:
- (a) treating a compound of formula (IIA):

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 10 of 13

where R¹, R² and R³ are as defined in formula (I) with a thiol R¹SH in the presence of a suitable base, or

(b) treatment of a compound of formula (IIB):

where R^1 , R^2 and R^3 are as defined in formula (I) and X is a leaving group with a metal alkoxide, followed by treatment with an acid or base, and optionally after (a) or (b) forming a pharmaceutically acceptable salt.

- 8. (Currently amended) A compound of formula (IIA) or (IIB) as defined in claim 7, wherein X is halogen and with the proviso that formula (IIB) cannot represent the compounds:
- i.) 2-[[-2-Bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-1-propanol; or
- <u>ii.</u>) 2-[[-2-Bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-1-propanol.

Serial No.: 10/089,571 Filed: March 29, 2002

Page : 11 of 13

9. (Original) A compound of formula (IIIA):

where R² and R³ are as defined in formula (I) and X is NH₂

10. (Currently Amended) A pharmaceutical composition comprising a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in claim 1 in association with a pharmaceutically acceptable adjuvant, diluent or carrier.

11-19. (Cancelled)

20. (New) A compound of formula (I) or a pharmaceutically acceptable salt as claimed in claim 1 and wherein:

 R^1 represents benzyl substituted by one or more C_1 - C_6 alkyl, C_1 - C_6 alkoxy or halogen atoms; and

one of R^2 and R^3 is hydrogen and the other is $CH(CH_3)CH_2OH$, $CH(Et)CH_2OH$, $C(CH_3)_2CH_2OH$ or $CH(CH_2OH)_2$.